# Neoglycoprotein-Synthesis

FIG. 1

## Polysaccharide Modification

#### a) Reductive Amination

$$\begin{array}{c} \text{OH} \\ \text{OH} \\ \text{OH} \end{array}$$

### b) $I_2$ -Oxidation

### c) CNBr-Activation

$$0 - C - Br$$

$$0 - C - Br$$

$$0 + OH$$

$$0$$

$$0 - C - N - Protein$$

$$0 - C - N - Protein$$

$$0 - C - N - Protein$$

Alternative: Activation with CDAP

### d) NaIO<sub>4</sub>-Cleavage

FIG. 2.1

### Oligosaccharide Modification

### a) Reductive Amination

### b) N-Glycosylation

FIG. 2.2

### NH2-and CHO/COOH-Coupling Reactions

### 1a: N-Hydroxysuccinimides

#### 1b: Imido esters

$$NH_2^+C1^ NH_2^+C1^ NH_2^+$$
  $NH_2^+$   $NH$ 

### 1c: Aryl azides

### 2: Hydrazides

$$H_2N-NH-C-R$$
 $R'CHO$ 
 $RH_3'C-NH-NH-C-R$ 
 $RH_3'C-NH-NH-C-R$ 
 $RH_3'C-NH-NH-C-R$ 
 $R'CHO$ 
 $RH_3'C-NH-NH-C-R$ 
 $R'CHO$ 
 $RH_3'C-NH-NH-C-R$ 

FIG. 3.1

### SH-Coupling Reactions

3a: Haloacetates

3b: Maleimides

$$\begin{array}{c|c}
0 \\
N-R
\end{array}
\qquad
\begin{array}{c}
R'SH\\
RS'
\end{array}
\qquad
\begin{array}{c}
0 \\
N-R
\end{array}$$

3c: Pyridyl disulfides

$$R'-S-S-R$$
 $R'-S-S-R$ 
 $R'-S-S-R$ 

FIG. 3.2

### Crosslinkers

#### 1: Homobifunctional

a) 
$$H_2CO-C-(CH_2)_n-C-OCH_3$$
  $DMA (n=4) DMP (n=5) DMS (n=6)$ 
b)  $N-O-C-(CH_2)_n-C-O-N DSG (n=3) DSS (n=6)$ 
c)  $H_2N-NH-C-(CH_2)_4-C-NH-NH_2$  ADH

### 2: Heterobifunctional

d)

a) 
$$N-(CH_2)_n-C-0-N$$
 AMAS  $(n=1)$  GMBS  $(n=3)$  EMCS  $(n=5)$ 
b)  $N-CH_2-C-NH-NH_2$   $M_2C_2H$ 
c)  $N-CH_2-C-NH-NH_2$  SPDP

FIG. 4

BMOE (n=2)

BMB (n=4) BMH (n=6)

## Linkers for SH Couplings

### 1: Maleimide

a) 
$$\begin{array}{c} AMAS & (n=1) \\ MBS & (n=3) \\ EMCS & (n=5) \\ \end{array}$$
 
$$\begin{array}{c} MCC \\ N-CH_2 \\ N-CH_2-C-O-N \\ \end{array}$$
 
$$\begin{array}{c} SO_3Na \\ Sulfo-GMBS \\ Sulfo-EMCS \\ Sulfo-SMCC \\ \end{array}$$
 
$$\begin{array}{c} Sulfo-GMBS \\ Sulfo-EMCS \\ Sulfo-SMCC \\ \end{array}$$
 
$$\begin{array}{c} D \\ N-CH_2-C-O-N \\ \end{array}$$
 
$$\begin{array}{c} N-CH_2 \\ -C-NH-NH_2 \\ \end{array}$$
 
$$\begin{array}{c} M_2C_2H \\ \end{array}$$
 
$$\begin{array}{c} MBS \\ \end{array}$$
 
$$\begin{array}{c} SMPB \\ \end{array}$$
 
$$\begin{array}{c} MBS \\ \end{array}$$

FIG. 5.1

### Linkers for SH Couplings

#### 2: Haloacetate

$$I-CH_{2}-C-O-N$$

$$I-CH_{2}-C-O-N$$

$$I-CH_{2}-C-O-N$$

$$SIAB$$

$$Br-CH_{2}-C-O-N$$

$$SIAB$$

$$SIAB$$

$$SIAB$$

### 3: Pyridyldisulfide

FIG. 5.2